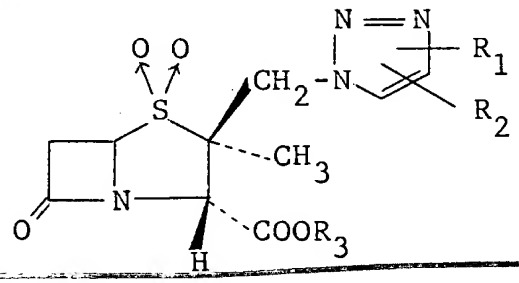
CLAIMS:

1. A penicillin derivative represented by the following formula



wherein R_1 is hydrogen or trialkylsilyl; R_2 is hydrogen, trialkylsilyl or $COOR_2'$ wherein R_2' is hydrogen, C_{1-18} alkyl, C_{2-7} alkoxymethyl, C_{3-8} alkylcarbonyloxymethyl, C_{4-9} alkylcarbonyloxyethyl, (C_{5-7} cycloalkyl)carbonyloxymethyl, C_{9-14} benzylcarbonyloxyalkyl, C_{3-8} alkoxycarbonylmethyl, C_{4-9} alkoxycarbonylethyl, phthalidyl, crotonolacton-4-yl, γ-butyrolacton-4-yl, halogenated C_{1-6} alkyl substituted with 1 to 3 halogen atoms, C_{1-6} alkoxy- or nitro-substituted or unsubstituted benzyl, benzhydryl, tetrahydropyranyl, dimethylaminoethyl, dimethylchlorosilyl, trichlorosilyl, (5-substituted C_{1-6} alkyl or phenyl or unsubstituted-2-oxo-1,3-dioxoden-4-yl)methyl, C_{8-13} benzoyloxyalkyl or group for forming a pharmaceutically acceptable salt; and R_3 has the same meaning as above R_2'.

2. The penicillin derivative as defined in claim 1 wherein R_3 is C_{2-7} alkoxymethyl.

3. The penicillin derivative as defined in claim 1 wherein R_3 is C_{3-8} alkylcarbonyloxymethyl, C_{4-9} alkylcarbonyloxyethyl, (C_{5-7} cycloalkyl)carbonyloxymethyl, C_{9-14} benzylcarbonyloxyalkyl or C_{8-13} benzoyloxyalkyl.

4. The penicillin derivative as defined in claim 1 wherein R_3 is C_{3-8} alkoxycarbonylmethyl or C_{4-9} alkoxycarbonylethyl.

5. The penicillin derivative as defined in claim 1 wherein R_3 is phthalidyl.

6. The penicillin derivative as defined in claim 1 wherein R_3 is crotonolacton-4-yl and γ-butyrolacton-4-yl.

7. The penicillin derivative as defined in claim 1 wherein R_3 is (5-substituted C_{1-6} alkyl or phenyl or unsubstituted-2-oxo-1,3-dioxoden-4-yl)methyl.

8. The penicillin derivative as defined in claim 1 wherein R_3 is a group for forming a pharmaceutically acceptable salt.

9. The penicillin derivative as defined in claim 1 wherein R_3 is C_{1-6} alkyl or halogenated C_{1-6} alkyl substituted with 1 to 3 halogen atoms, C_{1-6} alkoxy- or nitro-substituted or unsubstituted benzyl, benzhydryl, tetrahydropyranyl, dimethylchlorosilyl and trichlorosilyl.

10. The penicillin derivative as defined in

claim 8 wherein the group for forming a pharmaceutically acceptable salt represented by R_3 is alkali metal atom, alkaline earth metal atom, organic amine residue, basic amino acid residue or ammonium residue.

11. The penicillin derivative as defined in claim 1 wherein R_1 and R_2 are hydrogen.

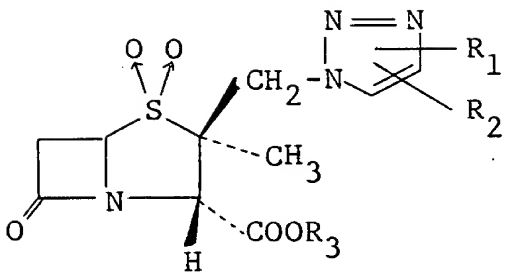
12. The penicillin derivative as defined in claim 1 wherein R_1 is hydrogen and R_2 is $-COOR_2'$.

13. The penicillin derivative as defined in claim 12 wherein R_2' is C_{1-18} alkyl.

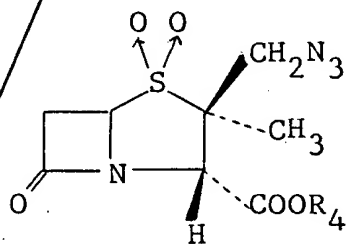
~~14.~~ The penicillin derivative as ~~defined in~~ claim 11 or 12 wherein R_3 is C_{3-8} alkylcarbonyloxymethyl, hydrogen, C_{4-9} alkylcarbonyloxyethyl, (C_{5-7} cycloalkyl)-carbonyloxymethyl, C_{9-14} benzylcarbonyloxyalkyl, C_{3-8} alkoxycarbonylmethyl, C_{4-9} alkoxycarbonylethyl, phthalidyl, crotonolacton-4-yl, γ-butyrolacton-4-yl, (5-substituted C_{1-6} alkyl or phenyl or unsubstituted-2-oxo-1,3-dioxoden-4-yl)methyl, C_{8-13} benzoyloxyalkyl or group for forming a pharmaceutically acceptable salt.

~~14~~15. The penicillin derivative as defined in claim 1 wherein R_2 is trialkylsilyl.

16. A process for preparing a penicillin derivative represented by the following formula



wherein R_1 is hydrogen or trialkylsilyl; R_2 is hydrogen, trialkylsilyl or $COOR_2'$ wherein R_2' is hydrogen, C_{1-18} alkyl, C_{2-7} alkoxymethyl, C_{3-8} alkylcarbonyloxymethyl, C_{4-9} alkylcarbonyloxyethyl, (C_{5-7} cycloalkyl)carbonyloxymethyl, C_{9-14} benzylcarbonyloxyalkyl, C_{3-8} alkoxycarbonylmethyl, C_{4-9} alkoxycarbonylethyl, phthalidyl, crotonolacton-4-yl, γ-butyrolacton-4-yl, halogenated C_{1-6} alkyl substituted with 1 to 3 halogen atoms, C_{1-6} alkoxy- or nitro-substituted or unsubstituted benzyl, benzhydryl, tetrahydropyranyl, dimethylaminoethyl, dimethylchlorosilyl, trichlorosilyl, (5-substituted C_{1-6} alkyl or phenyl or unsubstituted-2-oxo-1,3-dioxoden-4-yl)methyl, C_{8-13} benzoyloxyalkyl or group for forming a pharmaceutically acceptable salt; and R_3 has the same meaning as above R_2', the process comprising reacting a compound represented by the formula

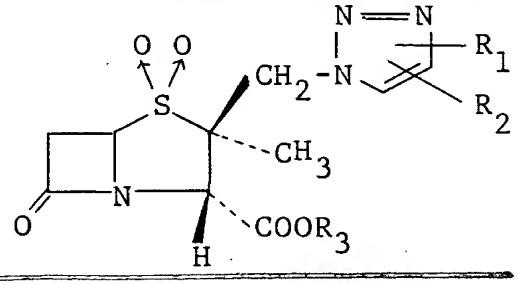


wherein R_4 represents penicillin carboxyl-protecting group with an acetylene compound represented by the formula

$$R_1C \equiv CR_5$$

wherein R_1 is as defined above and R_5 is trialkylsilyl or $COOR_2'$ wherein R_2' is as defined above and, when required, carrying out any of de-esterification, esterification subsequent to de-esterification, ester interchange reaction and salt-forming reaction.

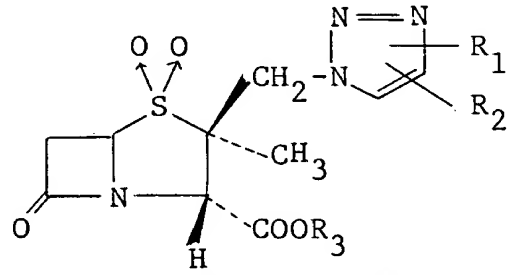
15. A pharmaceutical composition useful for treating bacterial infections in mammals, which comprises (A) a ß-lactam antibiotic and (B) a compound of the formula



wherein R_1 is hydrogen or trialkylsilyl; R_2 is hydrogen, trialkylsilyl or $COOR_2'$ wherein R_2' is hydrogen, C_{1-18} alkyl, C_{2-7} alkoxymethyl, C_{3-8} alkylcarbonyloxymethyl, C_{4-9} alkylcarbonyloxyethyl, (C_{5-7} cycloalkyl)carbonyloxymethyl, C_{9-14} benzylcarbonyloxyalkyl, C_{3-8} alkoxycarbonylmethyl, C_{4-9} alkoxycarbonylethyl, phthalidyl, crotonolacton-4-yl, γ-butyrolacton-4-yl, halogenated

C_{1-6} alkyl substituted with 1 to 3 halogen atoms, C_{1-6} alkoxy- or nitro-substituted or unsubstituted benzyl, benzhydryl, tetrahydropyranyl, dimethylaminoethyl, dimethylchlorosilyl, trichlorosilyl, (5-substituted C_{1-6} alkyl or phenyl or unsubstituted-2-oxo-1,3-dioxoden-4-yl)methyl, C_{8-13} benzoyloxyalkyl or group for forming a pharmaceutically acceptable salt; and R_3 has the same meaning as above R_2', the weight ratio of (A)/(B) being 0.1 to 10, said ß-lactam antibiotics being selected from the group consisting of ~~penicillins such as~~ ampicillin, amoxicillin, hetacillin, ciclacillin, mecillinam, carbenicillin, sulbenicillin, ticarcillin, piperacillin, apalcillin, methicillin, mezlocillin, bacampicillin, carindacillin, talampicillin, carfecillin and pivmecillinam; ~~cephalosporins such as~~ cephaloridine, cephalothin, cephapirin, cephacetrile, cefazolin, cephalexin, cefradine, cefotiam, cefamandole, cefuroxime, cefoxitin, cefmetazole, cefsulodin, cefoperazone, cefotaxime, ceftizoxime, cefmenoxime, latamoxef, cefaclor, cefroxadine, cefatrizine, cefadroxil and cephaloglycin; and pharmaceutically acceptable salts thereof.

~~18~~ 16. A method of treating a bacterial infection in a mammal subject, said method comprising ~~which comprises~~ administering to said subject (A) a ß-lactam antibiotic and (B) a compound of the formula



wherein R_1 is hydrogen or trialkylsilyl; R_2 is hydrogen, trialkylsilyl or $COOR_2'$ wherein R_2' is hydrogen, C_{1-18} alkyl, C_{2-7} alkoxymethyl, C_{3-8} alkylcarbonyloxymethyl, C_{4-9} alkylcarbonyloxyethyl, (C_{5-7} cycloalkyl)carbonyloxymethyl, C_{9-14} benzylcarbonyloxyalkyl, C_{3-8} alkoxycarbonylmethyl, C_{4-9} alkoxycarbonylethyl, phthalidyl, crotonolacton-4-yl, γ-butyrolacton-4-yl, halogenated C_{1-6} alkyl substituted with 1 to 3 halogen atoms, C_{1-6} alkoxy- or nitro-substituted or unsubstituted benzyl, benzhydryl, tetrahydropyranyl, dimethylaminoethyl, dimethylchlorosilyl, trichlorosilyl, (5-substituted C_{1-6} alkyl or phenyl or unsubstituted-2-oxo-1,3-dioxoden-4-yl)methyl, C_{8-13} benzoyloxyalkyl or group for forming a pharmaceutically acceptable salt; and R_3 has the same meaning as above R_2', the weight ratio of (A)/(B) administered being 0.1 to 10, said ß-lactam antibiotics being selected from the group consisting of ~~penicillins such as~~ ampicillin, amoxicillin, hetacillin, ciclacillin, mecillinam, carbenicillin, sulbenicillin, ticarcillin, piperacillin, apalcillin, methicillin, mezlocillin,

bacampicillin, carindacillin, talampicillin, carfecillin and pivmecillinam; ~~cephalosporins such as~~ cephaloridine, cephalothin, cephapirin, cephacetrile, cefazolin, cephalexin, cefradine, cefotiam, cefamandole, cefuroxime, cefoxitin, cefmetazole, cefsulodin, cefoperazone, cefotaxime, ceftizoxime, cefmenoxime, latamoxef, cefaclor, cefroxadine, cefatrizine, cefadroxil and cephaloglycin; and pharmaceutically acceptable salts thereof.